MARTHASE

SEARCH REQUEST FORM

Access DB# _____

Scientific and Technical Information Center

Requester's Full Name: MALGORZATA WALICKA Examiner #: 78201 Date: July 17, 2001
Art Unit: 1652 Phone Number 305-7270 Serial Number: _____
Mail Box and Bldg/Room Location: 10C01, 10D06 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Inhibitors of serine protease activity

Inventors (please provide full names): MADISON E.L.

Earliest Priority Filing Date: Sept. 8, 2000

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search structures 4 and 6 of attached Fig. 1A.

Thank you very much,

Walicka

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STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: Shaporia	NA Sequence (#)	STN
Searcher Phone #: 3-4191	AA Sequence (#)	Dialog
Searcher Location:	Structure (#)	Questel Orbit:
Date Searcher Picked Up:	Bibliographic	Dr Link
Date Completed: 7/17/01	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time:	Patent Family	WWW/Internet
Online Time:	Other	Other (specify)

PTO-1590 (1-2000)

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FILE COVERS 1947 - 17 Jul 2001  VOL 135 ISS 4
FILE LAST UPDATED: 16 Jul 2001  (20010716/ED)

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 substance identification.

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in CA from 1947 to the present.  On April 22, 2001, bibliographic
information and abstracts were added for over 2.2 million references
published in CA from 1947 to 1966.

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L1                STR
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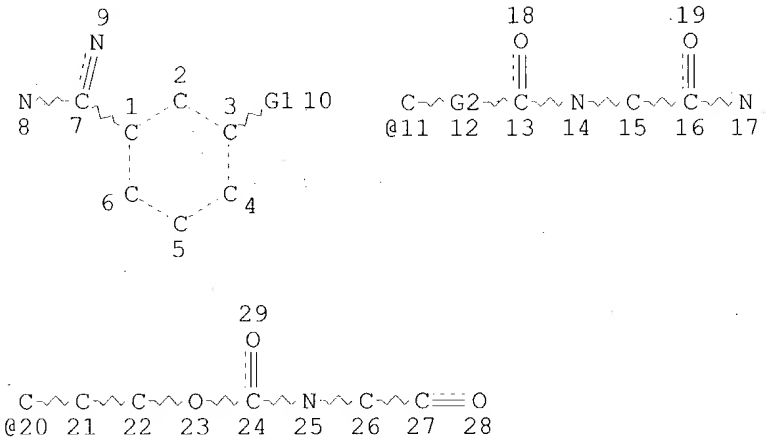


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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  29

STEREO ATTRIBUTES: NONE
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L4 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

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L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:283983 HCAPLUS
DOCUMENT NUMBER: 134:311435
TITLE: Preparation of inhibitors of factor Xa having an arginine or arginine aldehyde mimic
INVENTOR(S): Semple, Joseph Edward; Brunck, Terence Kevin; Levy, Odile Esther; Tamura, Susan Y.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027141	A1	20010419	WO 2000-US27615	20001006

W: CA, JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1999-414903 A 19991008
OTHER SOURCE(S): MARPAT 134:311435
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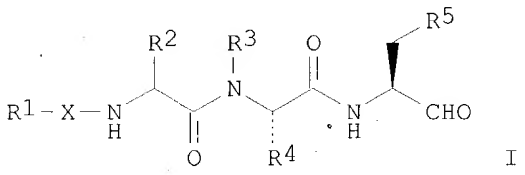

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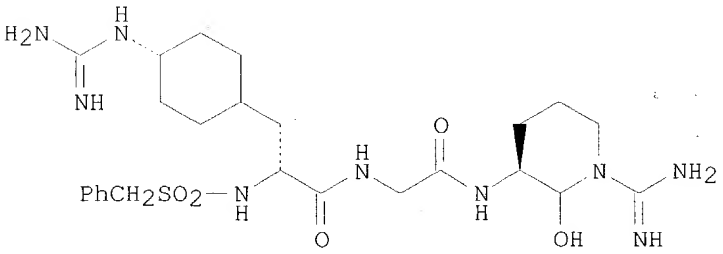

II

AB Peptidyl aldehydes I [X = SO2, NR'SO2 (R' = H, alkyl, aryl, aralkyl), CO, O2C, NHCO, or a direct link; R1 = (un)substituted alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, H (when X is a direct link), etc.; R2 = -(CHR8)x(CH2)x1-T-J, where X = 0 or 1, X1 = 0-6, R8 = H, alkyl, T is a divalent cycloalkyl, aryl, heteroaryl, or heterocyclyl radical, and J is C(:E)-D or -NHC(:E)-D, where D is R6 or NR6R7 (R6, R7 = H, aryl, alkyl, provided that D .noteq. H) and E is O, S or NR6; R3 = H,

(un)substituted alkyl, cycloalkyl, alkenyl, aryl, aralkyl, heteroaralkyl; R4 = H, alkyl; R5 -(CH2)dNHC(:NH)NH2 (d = 0-5), or amidino-substituted cyclohexane, piperidine (at 1-position), or benzene, all linked at the 3- or 4-position] having an arginine or arginine mimic at P3 are selective inhibitors of certain serine proteases, including factor Xa. These compds. are useful in prevention and treatment of conditions characterized by abnormal thrombosis in mammals. Thus, compd. II was prepd. by a multistep procedure from Boc-D-Phe(p-NO2)-OH (Boc = tert-butoxycarbonyl), glycine Me ester hydrochloride, benzylsulfonyl chloride, bis-Boc-S-methylisothiourea, and cycloArg(NO2)OEt.HCl. Inhibitory test data (IC50 values for factor Xa, thrombin, and trypsin) are tabulated for compds. of the invention.

IT **334953-82-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of inhibitors of factor Xa having an arginine or arginine aldehyde mimic)

REFERENCE COUNT: 3
REFERENCE(S):
(1) Marlowe, C; WO 9640743 A 1996 HCAPLUS
(2) Miller, T; US 5371072 A 1994 HCAPLUS
(3) Tamura, S; BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 2000, V10(8), P745 HCAPLUS

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:241742 HCAPLUS
DOCUMENT NUMBER: 134:266567
TITLE: Preparation of ketoheterocyclic peptide derivatives as inhibitors of factor Xa
INVENTOR(S): Scarborough, Robert M.; Marlowe, Charles K.; Zhu, Bing-Yan
PATENT ASSIGNEE(S): COR Therapeutics, Inc., USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6211154	B1	20010403	US 1995-480491	19950607

OTHER SOURCE(S): MARPAT 134:266567
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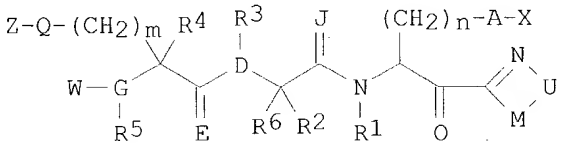

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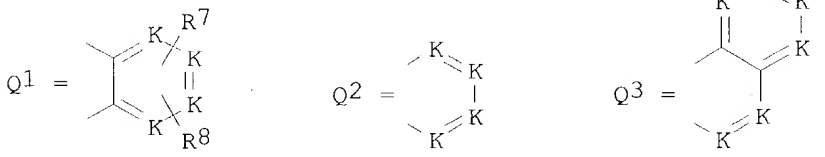

AB Ketoheterocyclic peptide derivs. I [m, n = 0-4; A = piperidinyl, pyrrolidinyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, Ph, C3-6 heteroaryl, or is absent; D = N, CH, NCH2, NCH2CH2, CHCH2; E, J = O, H2; G

= N, CH, H; M = N, NH, NMe, O, S, S(O), SO2, CH2, or is absent; Q = piperidinyl, pyrrolidinyl, C3-8 cycloalkyl, naphthyl, pyridyl, (un)substituted Ph or is absent; R1-R3 = H, C1-3 alkyl; R2R3 = CH2YCH2; Y = NH, S, O, CH2, CHOH, CH2CH2, CO; R4 = H, Me; R5 = H, C1-3 alkyl, or is absent if G = H; R6 = H, Me; U = CHR7(CH2)nCHR8, K(R7):K(R8), Q1-Q3; R7, R8 = H, C1-10 alkyl, aryl, arylalkyl, halo, NO2, substituted amino, OH, acyloxy, CO2H, CN, etc; K = C, N; W = H, arylacyl, heteroarylacyl, arylC1-3 alkylsulfonyl, (un)substituted arylsulfonyl, arylC1-4 alkenylsulfonyl, C1-8 alkylsulfonyl, heteroarylC1-3 alkylsulfonyl, heteroarylsulfonyl, aryloxycarbonyl, C1-6 alkyloxycarbonyl, arylC1-3 alkyloxycarbonyl, arylaminocarbonyl, C1-6 alkylaminocarbonyl, arylC1-3 alkylaminocarbonyl, carboxyC0-3 alkylcarbonyl, or is absent if G = H; X, Z = H, C1-3 alkyl, NR'R'', NHC(NR'R''):NH, NHC(NHR'):NR'', NHCR':NR'', SC(NR'R''):NH, SC(NHR'):NR'', C(NR'R''):NH, C(NHR'):NR'', CR':NR''; R', R'' = H, C1-6 alkyl, arylC1-3 alkyl, aryl; R'R'' = cyclic ring contg. (CH2)p, p = 2-5] or their pharmaceutically acceptable salts were prepd. for inhibition of factor Xa. I are useful in vitro or in vivo for preventing or treating coagulation disorders. Thus, H-D-Arg-Gly-Arg-thiazole, prepd. in several steps from thiazole, protected arginine derivs., and glycine, inhibited factor Xa, prothrombinase, and thrombin with IC50 values of 0.011, 0.010, and 41 .mu.M, resp., while PhCH2SO2-D-Arg-Gly-Arg-thiazole showed IC50 values of 0.00065, 0.00045, and 10 .mu.M, resp.

IT **186304-25-6P 186304-32-5P 186304-41-6P**
186304-70-1P 186304-89-2P 186305-33-9P
186305-78-2P 186305-89-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ketoheterocyclic peptide derivs. as inhibitors of factor Xa)

REFERENCE COUNT: 24
REFERENCE(S):
(1) Abe; US 5153176 1992 HCAPLUS
(2) Anon; EP 0195212 A3 1986 HCAPLUS
(3) Anon; EP 0275101 A3 1988 HCAPLUS
(4) Anon; EP 0364344 A3 1990 HCAPLUS
(5) Anon; EP 0410411 A2 1991 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:96001 HCAPLUS
DOCUMENT NUMBER: 132:137734
TITLE: Preparation of ketoheterocyclic peptide derivatives as inhibitors of factor Xa
INVENTOR(S): Scarborough, Robert M.; Marlowe, Charles K.; Zhu, Bing-yan
PATENT ASSIGNEE(S): COR Therapeutics, Inc., USA
SOURCE: U.S., 25 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6022861	A	20000208	US 1995-486213	19950607

OTHER SOURCE(S): MARPAT 132:137734
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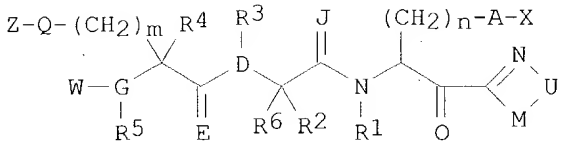

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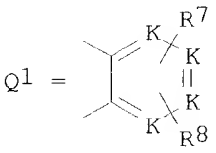

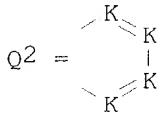

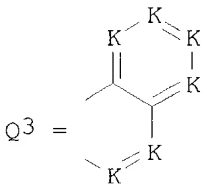

AB Ketoheterocyclic peptide derivs. I [m, n = 0-4; A = piperidinyl, pyrrolidinyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, Ph, C3-6 heteroaryl, or is absent; D = N, CH, NCH2, NCH2CH2, CHCH2; E = O, H2; G = N, CH, H; M = N, NH, NMe, O, S, S(O), SO2, CH2, or is absent; Q = piperidinyl, pyrrolidinyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, Ph, C3-6 heteroaryl, or is absent; J = O, H2; R1-R3 = H, C1-3 alkyl; R2R3 = CH2YCH2; Y = NH, S, O, CH2, CHOH, CH2CH2, CO; R4 = H, Me; R5 = H, C1-3 alkyl, or is absent if G = H; R6 = H, Me; U = CHR7(CH2)nCHR8, K(R7):K(R8), Q1-Q3; R7, R8 = H, C1-10 alkyl, aryl, arylalkyl, halo, NO2, substituted amino, OH, acyloxy, CO2H, CN, etc; K = C, N; W = H, arylacyl, heteroarylacyl, arylC1-3 alkylsulfonyl, (un)substituted arylsulfonyl, arylC1-4 alkenylsulfonyl, C1-8 alkylsulfonyl, heteroarylC1-3 alkylsulfonyl, heteroarylsulfonyl, aryloxycarbonyl, C1-6 alkyloxycarbonyl, arylC1-3 alkyloxycarbonyl, arylaminocarbonyl, C1-6 alkylaminocarbonyl, arylC1-3 alkylaminocarbonyl, carboxyC0-3 alkylcarbonyl, or is absent if G = H; X, Z = NR'R'', NHC(NR'R''):NH, NHC(NHR'):NR'', NHCR':NR'', SC(NR'R''):NH, SC(NHR'):NR'', C(NR'R''):NH, C(NHR'):NR'', CR':NR''; R', R'' = H, C1-6 alkyl, arylC1-3 alkyl, aryl; R'R'' = cyclic ring contg. (CH2)p, p = 2-5] or their pharmaceutically acceptable salts were prepd. for inhibition of factor Xa. I are useful in vitro or in vivo for preventing or treating coagulation disorders. Thus, H-D-Arg-Gly-Arg-thiazole, prepd. in several steps from thiazole, protected arginine derivs., and glycine, inhibited factor Xa, prothrombinase, and thrombin with IC50 values of 0.011, 0.010, and 41 .mu.M, resp., while PhCH2SO2-D-Arg-Gly-Arg-thiazole showed IC50 values of 0.00065, 0.00045, and 10 .mu.M, resp.

IT **186304-25-6P 186304-32-5P 186304-41-6P 186304-70-1P 186304-89-2P 186305-33-9P 186305-78-2P 186305-89-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ketoheterocyclic peptide derivs. as inhibitors of factor Xa)

REFERENCE COUNT: 74
REFERENCE(S):
(1) Abe; US 5153176 1992 HCAPLUS
(2) Almquist, R; J Med Chem 1980, V23, P1392 HCAPLUS
(3) Anon; 1982 HCAPLUS
(4) Anon; EP 0045665 A1 1982 HCAPLUS
(5) Anon; EP 0195212 A3 1986 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:146693 HCAPLUS
DOCUMENT NUMBER: 128:205143
TITLE: Preparation of peptidyl inhibitors of factor Xa
INVENTOR(S): Marlowe, Charles K.; Scarborough, Robert M.; Laibelman, Alan M.; Sinha, Uma; Zhu, Bing-yan
PATENT ASSIGNEE(S): COR Therapeutics, Inc., USA

SOURCE: U.S., 25 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5721214	A	19980224	US 1995-485433	19950607

OTHER SOURCE(S): MARPAT 128:205143

AB Novel compds. ZQ(CH2)mCHR4(GWR5)C(:E)DR3CR2R6C(:J)NR1CHY(CH2)nAX [m, n = 0-4; Y = CHO, COCF3, COCF2CF3, etc.; A = absent, piperidinyl, pyrrolidinyl, cyclopropyl, Ph, etc.; R1, R2, R3 = H, alkyl; R4 = H, Me; J, E = O, H2; D = N, CH, NCH2, NCH2CH2, CHCH2; Q = absent, piperidinyl, pyrrolidinyl, cycloalkyl, Ph, naphthyl, pyridyl, etc.; G = N, CH, H; R5 = H, alkyl, or absent; R6 = H, Me; W = absent, H, arylacyl, heteroarylacyl, arylsulfonyl, alkylaminocarbonyl, etc.; X, Z = NR'R'', NHC(NR'R''):NH, NHC(NHR'):NR'', SC(NR'R''):NH, etc. (R' and R'' are H, alkyl, arylalkyl, aryl or R'R'' is alkylene)] or their salts were prepd. as factor Xa inhibitors. Thus, Boc-D-Arg-Gly-Arg-H (Boc = tert-butoxycarbonyl) was prepd. by redn.-hydrogenolysis of Boc-D-Arg(Cbz2)-Gly-Arg(N-Cbz)-lactam (Cbz = benzyloxycarbonyl), which was prepd. by peptide coupling in soln. The product was evaluated in rabbits for biol. half-life, antithrombotic efficacy, and effects on hemostasis and hematol. parameters.

IT **186369-67-5P 186369-79-9P 203934-81-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptidyl inhibitors of factor Xa)

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:124456 HCAPLUS
DOCUMENT NUMBER: 126:131782
TITLE: Preparation of ketoheterocyclic peptide derivatives as inhibitors of factor Xa
INVENTOR(S): Marlowe, Charles K.; Scarborough, Robert M.; Laibelman, Alan M.; Sinha, Uma; Zhu, Bing-Yan
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA; Marlowe, Charles K.; Scarborough, Robert M.; Laibelman, Alan M.; Sinha, Uma; Zhu, Bing-Yan
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640744	A1	19961219	WO 1996-US9290	19960605
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 6069130	A	20000530	US 1995-486010	19950607
CA 2224180	AA	19961219	CA 1996-2224180	19960605
AU 9664761	A1	19961230	AU 1996-64761	19960605
AU 702360	B2	19990218		
EP 832102	A1	19980401	EP 1996-924260	19960605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11507337	T2	19990629	JP 1996-501644	19960605
ZA 9604754	A	19970311	ZA 1996-4754	19960606

US 6197748 B1 20010306 US 1998-77002 19980515
PRIORITY APPLN. INFO.: US 1995-486010 A 19950607
WO 1996-US9290 W 19960605
OTHER SOURCE(S): MARPAT 126:131782
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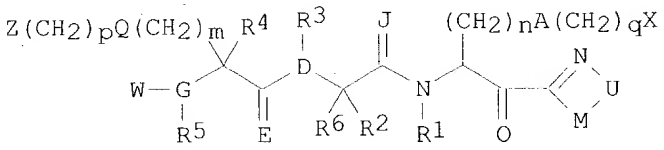

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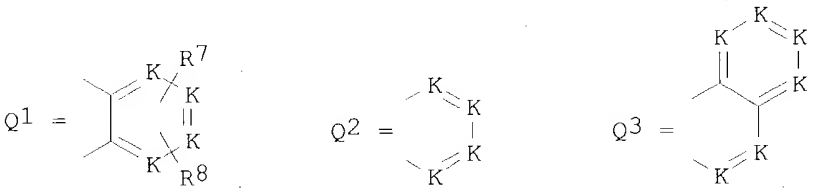

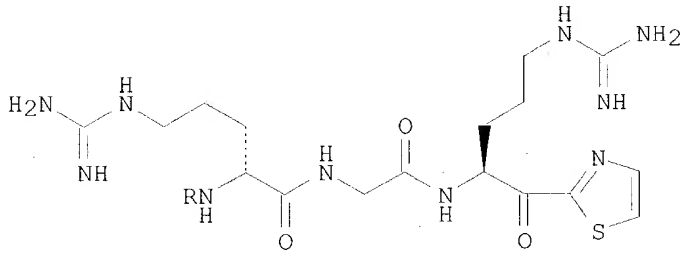

II

AB Novel title compds. I [m, n, p, q = independently 0-4; A = piperidinyl, pyrrolidinyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, Ph, C3-6 heteroaryl, or is absent; D = N, CH, NCH2, NCH2CH2, CHCH2; E = O, H2; G = N, CH, H; M = NH, NMe, O, S, S(O), SO2, CH2, or is absent; J = O, H2; R1-R3 = independently H, C1-3 alkyl; R2R3 = CH2YCH2; R4 = H, Me; R5 = H, C1-3 alkyl, or is absent if G = H; R6 = H, Me; U = CHR7(CH2)sCHR8, K(R7):K(R8), Q1-Q3; R7, R8 = independently H, C1-10 alkyl, aryl, arylalkyl, halo, NO2, substituted amino, OH, acyloxy, CO2H, CN, etc; K = CH, N; W = H, arylacyl, heteroarylacyl, arylC1-3alkylsulfonyl, (un)substituted arylsulfonyl, arylC1-4 alkenylsulfonyl, C1-8 alkylsulfonyl, heteroarylC1-3alkylsulfonyl, heteroarylsulfonyl, aryloxycarbonyl, C1-6alkyloxycarbonyl, arylC1-3alkyloxycarbonyl, arylaminocarbonylC1-6alkylaminocarbonyl, arylC1-3alkylaminocarbonyl, carboxyC0-3alkylcarbonyl, or is absent if G = H; X, Z = independently = H, C1-3 alkyl, NR'R", NHC(NR'R"):NH, NHC(NHR'):NR", NHCR':NR", SC(NR'R"):NH, SC(NHR'):NR", C(NR'R"):NH, C(NHR'):NR", CR':NR"; R', R" = independently H, C1-6 alkyl, C1-3 arylalkyl, aryl; R'R" = cyclic ring contg. (CH2)r, r = 2-5; Y = NH, S, O, CH2, CH(OH), CH2CH2, CO], their salts and compns. related thereto having activity against mammalian factor Xa are disclosed. I are useful in vitro or in vivo for preventing or treating coagulation disorders. Thus, ketothiazole peptide II (R = H), prepd. in several steps from thiazole and Boc-Arg(Tos)-OSu (Boc = Me3CO2C; Tos = tosyl; Su = succinimido) (prepn. given) inhibited factor Xa, prothrombinase, and thrombin with IC50 values of 0.011, 0.010, and 41 .mu.M, resp., while I (R = PhCH2SO2) showed IC50 values of 0.00065, 0.00045, and 10 .mu.M, resp.

IT **186304-25-6 186304-32-5 186304-41-6**
186304-70-1 186304-89-2 186305-33-9
186305-78-2 186305-89-5
RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of ketoheterocyclic peptide derivs. as inhibitors of factor Xa)

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:121403 HCAPLUS
DOCUMENT NUMBER: 126:131783
TITLE: Preparation of peptides as inhibitors of factor Xa
INVENTOR(S): Marlowe, Charles K.; Scarborough, Robert M.; Laibelman, Alan M.; Sinha, Uma; Zhu, Bing-yan
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA; Marlowe, Charles K.; Scarborough, Robert M.; Laibelman, Alan M.; Sinha, Uma; Zhu, Bing-Yan
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640743	A2	19961219	WO 1996-US9285	19960605
WO 9640743	A3	19970123		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5919765	A	19990706	US 1995-483470	19950607
CA 2224076	AA	19961219	CA 1996-2224076	19960605
AU 9665902	A1	19961230	AU 1996-65902	19960605
AU 710408	B2	19990923		
EP 846125	A2	19980610	EP 1996-925254	19960605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11507626	T2	19990706	JP 1996-501639	19960605
US 6245743	B1	20010612	US 1998-77001	19980515

PRIORITY APPLN. INFO.: US 1995-483470 A 19950607
WO 1996-US9285 W 19960605

OTHER SOURCE(S): MARPAT 126:131783

AB Peptides R1(CH2)pX1(CH2)mCR2(X2R3R4)C(:Y1)X3R5CR6R7C(:Y2)NR8CHR9(CH2)nX4(CH2)qR10 (X1 = piperidinyl, pyrrolidinyl, cycloalkyl, Ph, substituted Ph, naphthyl, pyridyl, or null; X2 = N, CH, H; X3 = N, CH, NCH2, NCH2CH2, CHCH2; X4 = piperidinyl, pyrrolidinyl, cycloalkyl, Ph, heteroaryl, or null; R1 = H, alkyl, amino, etc.; R2, R6 = H, Me; R3 = H, arylacyl, heteroarylacyl, arylalkylsulfonyl, etc.; R4 = H, alkyl or is absent if X2 is H; R5, R7, R8 = H, alkyl; R9 = CHO, COCF3, COCF2CF3, etc.; R10 = H, alkyl, amino, etc.; Y1, Y2 = O, H2; m, n, p, q = 0-4) and their pharmaceutically acceptable salts, prodrugs, etc. were prepd. as inhibitors of factor Xa. The compds. are useful in vitro or in vivo for preventing or treating coagulation disorders. Thus, Boc-D-Arg-Gly-Arg-H (I, Boc = tert-butoxycarbonyl) was prepd. from Boc-Arg(Z)-OH (Z = benzyloxycarbonyl), Boc-Gly-OH, and Boc-D-Arg(Z2)-OH via peptide couplings of arginine lactam intermediates. Peptide I was evaluated for biol. half-life, antithrombotic efficacy, and effects on hemostasis and hematol. parameters.

IT **186369-67-5P 186369-79-9P 186369-90-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as inhibitors of factor Xa)

=>

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=> fil caold

FILE 'CAOLD' ENTERED AT 21:54:42 ON 17 JUL 2001
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGlstRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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L5 0 L3

=>

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=> fil reg

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STRUCTURE FILE UPDATES: 16 JUL 2001 HIGHEST RN 346403-73-4
DICTIONARY FILE UPDATES: 16 JUL 2001 HIGHEST RN 346403-73-4

TSCA INFORMATION NOW CURRENT THROUGH January 11, 2001

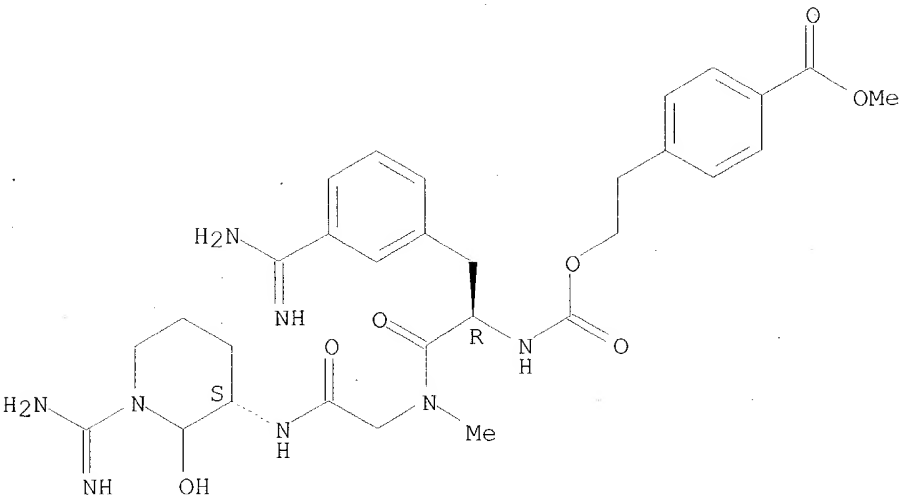
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT for details.

=> d l3 tot

L3 ANSWER 1 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 334953-82-1 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[[2-[4-(methoxycarbonyl)phenyl]ethoxy]carbonyl]-D-phenylalanyl-N-[(3S)-1-(aminoiminomethyl)-2-hydroxy-3-piperidinyl]-N2-methyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H40 N8 O7
SR CA
LC STN Files: CA, CAPLUS

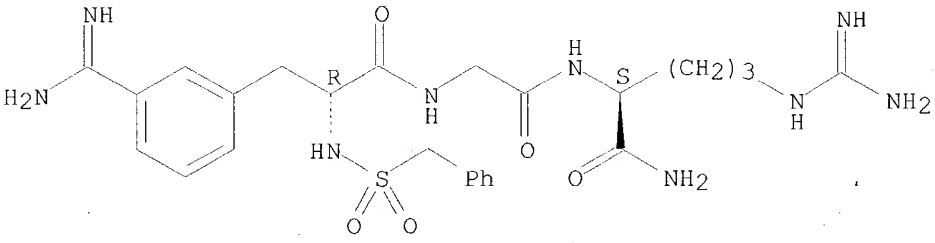
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 2 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 203934-81-0 REGISTRY
CN L-Argininamide, 3-(aminoiminomethyl)-N-[(phenylmethyl)sulfonyl]-D-phenylalanylglycyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H35 N9 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

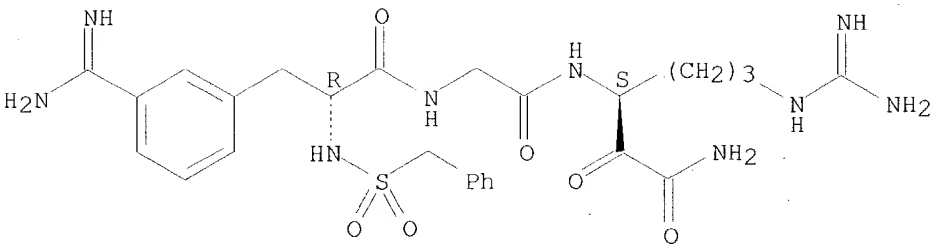
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 3 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186369-90-4 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(aminooxoacetyl)butyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H35 N9 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

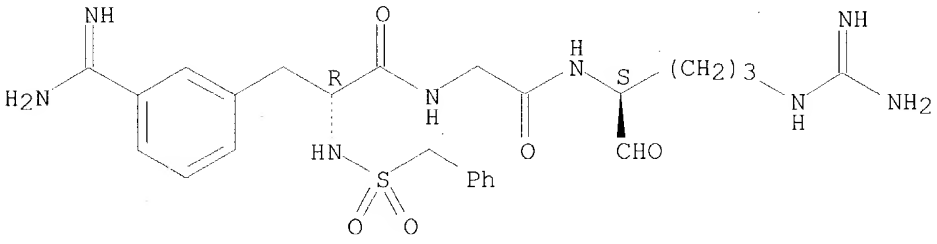
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 4 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186369-79-9 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H34 N8 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

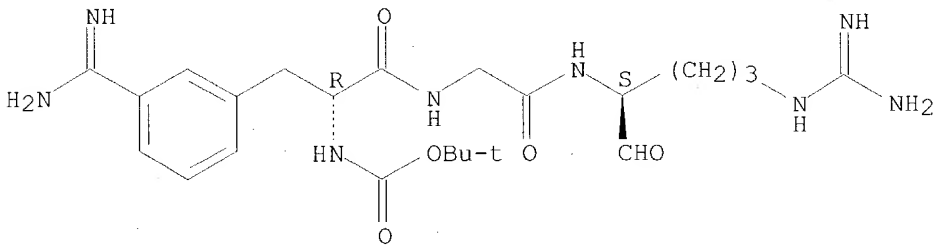
Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 5 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186369-67-5 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(1,1-dimethylethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H36 N8 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

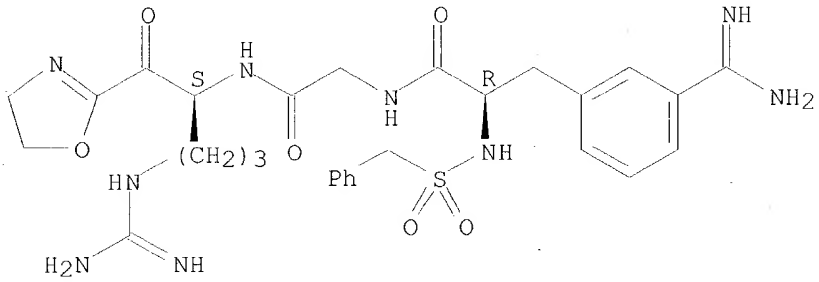
Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 6 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186305-89-5 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-[(4,5-dihydro-2-oxazolyl)carbonyl]butyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H37 N9 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

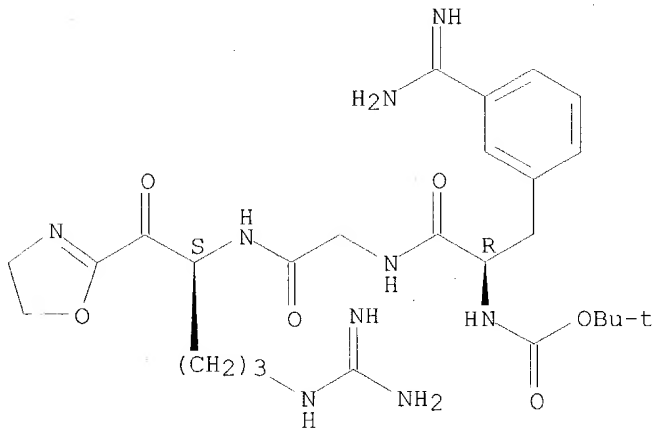
Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 7 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186305-78-2 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(1,1-dimethylethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-[(4,5-dihydro-2-oxazolyl)carbonyl]butyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H39 N9 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

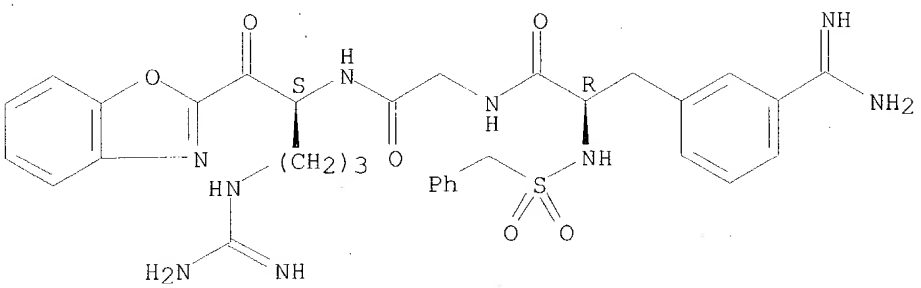


3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 8 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186305-33-9 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzoxazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C32 H37 N9 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

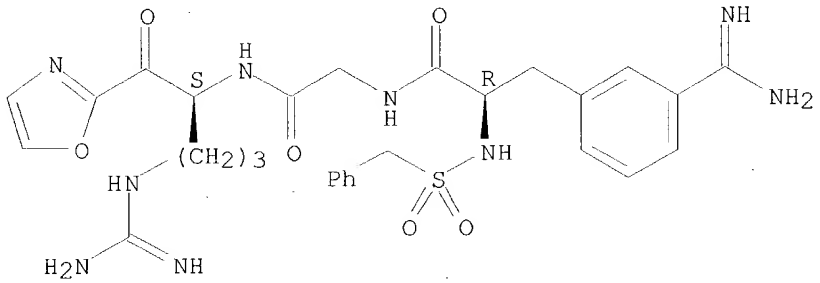
Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 9 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186304-89-2 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-oxazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H35 N9 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

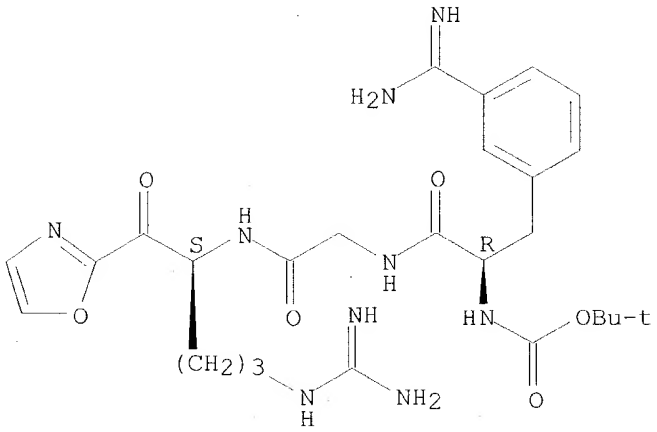
Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 10 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186304-70-1 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(1,1-dimethylethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-oxazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H37 N9 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

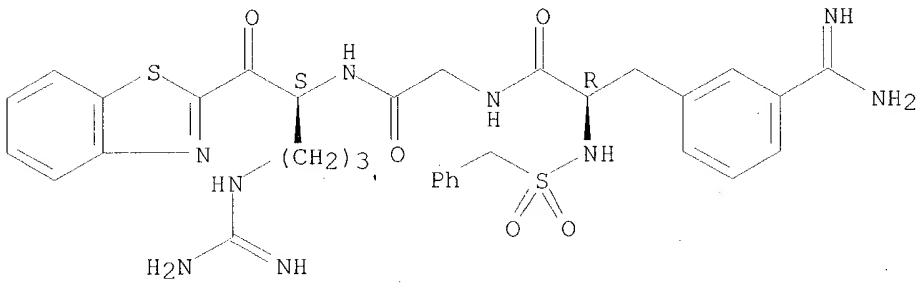
Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 11 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186304-41-6 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H37 N9 O5 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

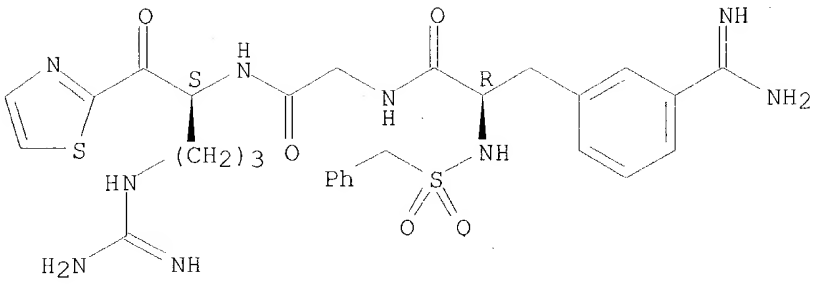
Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 12 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186304-32-5 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H35 N9 O5 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

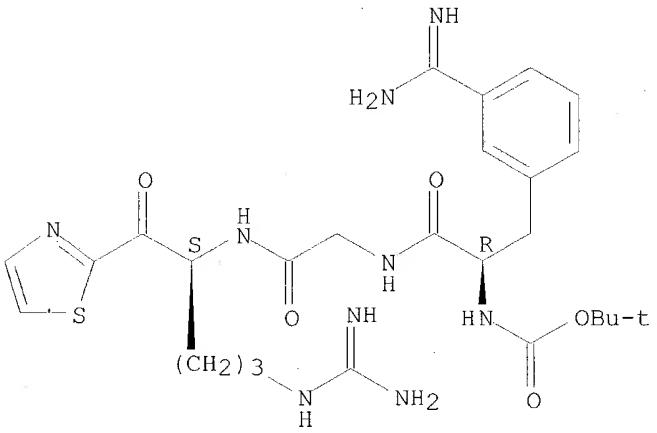
Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 13 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 186304-25-6 REGISTRY
CN Glycinamide, 3-(aminoiminomethyl)-N-[(1,1-dimethylethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-thiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H37 N9 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)